PATENT COOPERATION TREATY

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

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NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing *(day/month/year)*	06.12.2004
Applicant's or agent's file reference PCT-1088 /PT-2099000	**IMPORTANT NOTIFICATION**

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/CA 03/01175	06.08.2003	13.08.2002

Applicant
SHERMAN, Bernard Charles

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the international preliminary examining authority:	Authorized Officer
European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	Janzing, M Tel. +31 70 340-4140

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PCT-1088	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/CA 03/01175	International filing date *(day/month/year)* 06.08.2003	Priority date *(day/month/year)* 13.08.2002
International Patent Classification (IPC) or both national classification and IPC A61K9/00, A61K9/16		
Applicant SHERMAN, Bernard Charles		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 1 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
01.03.2004	06.12.2004
Name and mailing address of the international preliminary examining authority: European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	Authorized Officer von Eggelkraut-Gotta Telephone No. +31 70 340-4732

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/CA 03/01175

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-4 as originally filed

Claims, Numbers

1-6 filed with telefax on 18.11.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☒ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

see separate sheet

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-6
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-6
Industrial applicability (IA)	Yes:	Claims	1-6
	No:	Claims	

2. Citations and explanations

see separate sheet

I. Basis of the report

This report has been established as if the amendments of claims had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c) PCT).

V. Reasoned statement (Continuation)

1. CITATIONS

Reference is made to the following documents:

D1: WO 00/35450 A (KRISHNAMURTHY THINNAYAM N ; DARKE ANDREW (CA); EURO CELTIQUE SA (LU);) 22 June 2000 (2000-06-22)

2. AMENDMENTS (Art. 34(2)b PCT)

2.1 The amendments filed with the fax received on 18 November 2004 introduce subject-matter which extends beyond the content of the application as filed, contrary to Article 34(2)(b) PCT. The amendments concerned are the following:

2.1.1 Claim 5 and 6. The amendment of claim 5 is based on a specific embodiment of the invention, relating to a composition comprising methylphenidate hydrochloride and polyvinyl acetate phthalate. Claim 1 on which claims 5 and 6 are dependent refers to methylphenidate and an enteric polymer. Therefore, claims 5 and 6 are to be considered as involving an undue generalisation of the subject-matter disclosed in an example.

3 NOVELTY (Art. 33(2) PCT)

3.1 D1 discloses oral controlled release methylphenidate formulations comprising methylphenidate hydrochloride and Eudragit L 100-55 as enteric polymer. Granules are made by melt-extrusion and milling. The composition as defined in claim 1 defers from D1 in the ratio of enteric polymer to methylphenidate which is greater than 4 and less

than 100 (D1, examples 10, 11). The objection on the grounds of Art. 34(2)b PCT notwithstanding, claims 1-6 are therefore novel (Art. 33(2) PCT).

4 INVENTIVE STEP (Art. 33(3) PCT)

4.1 The objection on the grounds of Art. 34(2)b PCT notwithstanding, the present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-6 does not involve an inventive step in the sense of Article 33(3) PCT.

4.2 The document **D1** is regarded as being the closest prior art to the subject-matter of claim 1, and discloses (the references in parentheses applying to this document): Oral controlled release methylphenidate formulations comprising methylphenidate hydrochloride and Eudragit L 100-55 as enteric polymer. Granules are made by melt-extrusion and milling (examples 10, 11).

4.3 The subject-matter of claim 1 therefore differs from this known composition in that the ratio of enteric polymer to methylphenidate is greater than 4 and less than 100.

4.4 The problem to be solved by the present invention may be regarded as the provision of an oral controlled composition releasing methylphenidate in two "spikes".

4.5 The solution proposed in claim 1 of the present application cannot be considered as involving an inventive step (Article 33(3) PCT) for the following reasons:
According to the applicant the contribution of the present application over the prior art is the finding of a specific ratio of the enteric polymer and a specific particle size range. Both parameters determine the release characteristics of the composition. The particle size range not being defined, the subject-matter of claim 1 fails to provide a solution to the problem posed (see also description page 2, last paragraph - page 3, paragraph 2).

5 With regard to the objection on the ground of Art. 34(2)b PCT and the reasons given above, dependent claims 2-6 do not contain any features which, in combination with the features of any claim to which they refer, meet the requirements of the PCT in respect of inventive step. Claim 6 is merely a statement of the result to be achieved.

International Application No: **PCT/CA03/01175**
International Patent Classification No: **A61K9/16**
Applicant: **Bernard Charles Sherman**
Title: **DUAL-SPIKE RELEASE FORMULATION FOR ORAL DRUG DELIVERY**
Filing Date: **August 6, 2003**

AMENDED CLAIMS – November 18, 2004

1. A composition for oral administration which achieves drug release in two spikes, said composition comprising particles of a homogenous mixture of methylphenidate or a salt thereof and an enteric polymer, wherein the ratio of enteric polymer to methylphenidate or a salt thereof is greater than 4 and less than 100.

2. The composition of any of claims 1 wherein the enteric polymer is polyvinyl acetate phthalate.

3. The composition of any of claims 1, or 2, wherein the ratio of enteric polymer to drug is greater than 4 to about 50.

4. The composition of any claim 1, or 2 wherein the ratio of enteric polymer to drug is from about 10 to about 20.

5. The composition of any previous claim wherein said particles of the composition further comprise granules sized so as to pass through a #8 mesh screen but not pass through a #16 mesh screen.

6. The composition any previous claim wherein some of the drug is released promptly, after ingestion, when the composition reaches the stomach and release of the balance is delayed until the particles reach the small intestinal.